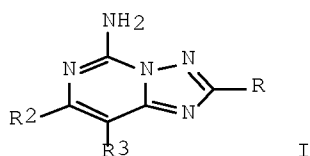TITLE: Preparation of triazolopyrimidinamines as adenosine
                            A2a receptor antagonists
INVENTOR(S):   Matasi, Julius J.; Caldwell, John P.; Tulshian, Deen;
                            Silverman, Lisa S.; Neustadt, Bernard R.
PATENT ASSIGNEE(S):   Schering Corporation, USA
SOURCE: PCT Int. Appl., 97 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

     PATENT NO.   KIND   DATE   APPLICATION NO.   DATE
     --------------   ----   --------   --------------------   --------
     WO 2003048164   A2   20030612   WO 2002-US38134   20021126 <--
     WO 2003048164   A3   20031016
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
              ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
              MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SI,
              SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
              FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
              CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2468681   A1   20030612   CA 2002-2468681   20021126 <--
     AU 2002346572   A1   20030617   AU 2002-346572   20021126 <--
     US 2003212080   A1   20031113   US 2002-304504   20021126 <--
     US 7041666   B2   20060509
     EP 1453835   A2   20040908   EP 2002-784641   20021126 <--
     EP 1453835   B1   20060215
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     HU 2004002270   A2   20050228   HU 2004-2270   20021126 <--
     CN 1596258   A   20050316   CN 2002-823922   20021126 <--
     JP 2005511698   T   20050428   JP 2003-549354   20021126 <--
     AT 317844   T   20060315   AT 2002-784641   20021126 <--
     ES 2258164   T3   20060816   ES 2002-784641   20021126 <--
     ZA 2004004160   A   20050408   ZA 2004-4160   20040527 <--
     MX 2004PA05156   A   20040811   MX 2004-PA5156   20040528 <--
     HK 1064100   A1   20060714   HK 2004-106913   20040911 <--
PRIORITY APPLN. INFO.:   US 2001-334293P   P   20011130 <--
                                               WO 2002-US38134   W   20021126 <--
OTHER SOURCE(S):   MARPAT 139:36539
GI

I

AB   Title compds. [I; R = (substituted) heteroaryl, Ph, cycloalkenyl, C(:CH2)Me,
        C.tplbond.CMe, dihydrofuryl, tetrahydrofuryl, CH:CMe2, C.tplbond.CCH2OH,
   CH:CHMe; R2 = WX, NR19(CH2)mWX, NR19CHMeWX, (substituted) alkyl, alkenyl,

amino; R3 = H, halo, alkyl, CF3, alkoxy, alkoxyalkyl, hydroxyalkyl, alkylamino, aryl, heteroaryl, cyano, etc.; R19 = H, alkyl, alkylcycloalkyl, cycloalkylalkyl, alkoxyalkyl; m = 1-3; W = (substituted) aryl, heteroaryl; X = H, (substituted) amino, etc.], were prepared as antiparkinsonians (no data). Thus, 2-amino-4-chloro-6- methylpyrimidine was heated with 2-furoic hydrazide in BuOH at 90° for 16 h to give a solid product which was heated with N,O-bis(trimethylsilyl)acetamide at 120° overnight to give I (R = 2-furyl; R2 = Me; R3 = H). Pharmaceutical compns. comprising I are claimed.

      RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
          (preparation of triazolopyrimidinamines as adenosine A2a receptor antagonists)
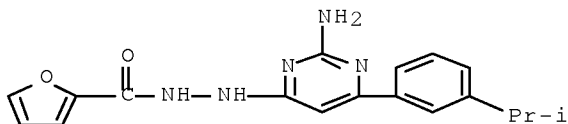RN   394652-85-8 ZCAPLUS
CN   2-Furancarboxylic acid, 2-(2-amino-6-chloro-4-pyrimidinyl)hydrazide (CA INDEX NAME)
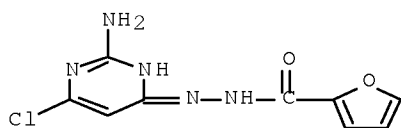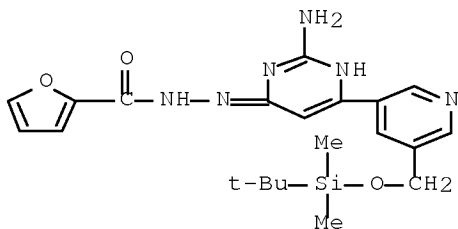

RN   540752-76-9 ZCAPLUS
CN   2-Furancarboxylic acid, 2-[2-amino-6-[3-(1-methylethyl)phenyl]-4-pyrimidinyl]hydrazide (CA INDEX NAME)
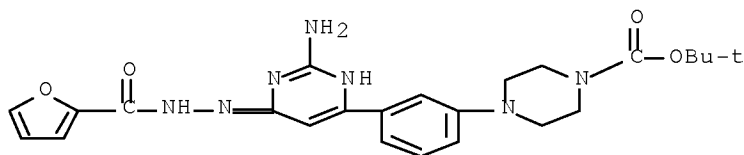

RN   540752-84-9 ZCAPLUS
CN   2-Furancarboxylic acid, 2-[2-amino-6-[5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3-pyridinyl]-4-pyrimidinyl]hydrazide (CA INDEX NAME)
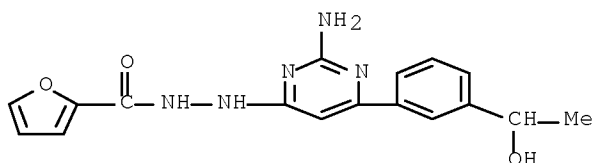
RN   540752-89-4   ZCAPLUS
CN   1-Piperazinecarboxylic acid, 4-[3-[2-amino-6-[2-(2-
      furanylcarbonyl)hydrazino]-4-pyrimidinyl]phenyl]-, 1,1-dimethylethyl ester
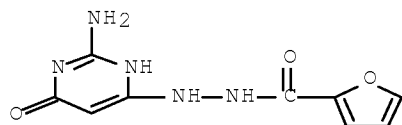   (9CI)   (CA INDEX NAME)

RN   540752-95-2   ZCAPLUS
CN   2-Furancarboxylic acid, 2-[2-amino-6-[3-(1-hydroxyethyl)phenyl]-4-
      pyrimidinyl]hydrazide   (CA INDEX NAME)

RN   540752-98-5   ZCAPLUS
CN   2-Furancarboxylic acid, 2-(2-amino-1,6-dihydro-6-oxo-4-
      pyrimidinyl)hydrazide   (CA INDEX NAME)

RN   540753-07-9   ZCAPLUS
CN   1-Piperidinecarboxylic acid, 4-[3-[2-amino-6-[2-(2-
      furanylcarbonyl)hydrazino]-4-pyrimidinyl]phenyl]-, phenylmethyl ester
      (9CI)   (CA INDEX NAME)